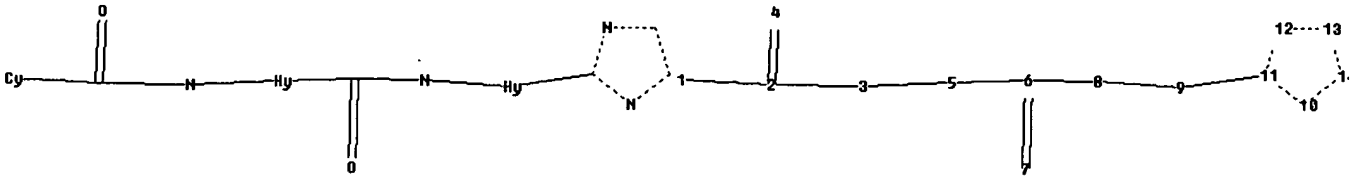
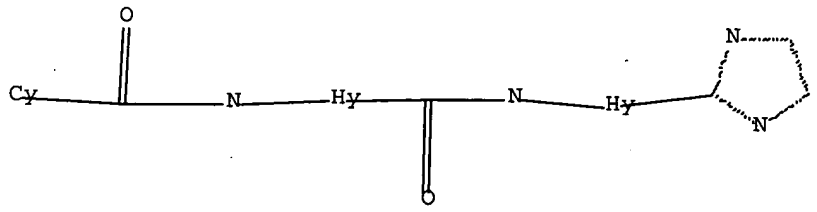
```
* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
* * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:44:29 ON 25 OCT 2006

=> file reg
=> Uploading C:\Program Files\Stnexp\Queries\10532271.str
```




```
chain nodes :
1  2  3  4  5  6  7  8  9
ring nodes :
10  11  12  13  14
chain bonds :
1-2  2-4  2-3  3-5  5-6  6-7  6-8  8-9  9-11
ring bonds :
10-11  10-14  11-12  12-13  13-14
exact/norm bonds :
1-2  2-4  2-3  3-5  5-6  6-7  6-8  8-9  9-11  10-11  10-14  11-12  12-13  13-14


Match level :
1:Atom  2:CLASS  3:CLASS  4:CLASS  5:Atom  6:CLASS  7:CLASS  8:CLASS  9:Atom
10:Atom  11:Atom  12:Atom  13:Atom  14:Atom



L1      STRUCTURE UPLOADED

=> dis l1
L1 HAS NO ANSWERS
L1                STR
```




```
Structure attributes must be viewed using STN Express query preparation.


=> s l1 sam
L2            0 SEA SSS SAM L1

=> s l1 full
L3          101 SEA SSS FUL L1
```

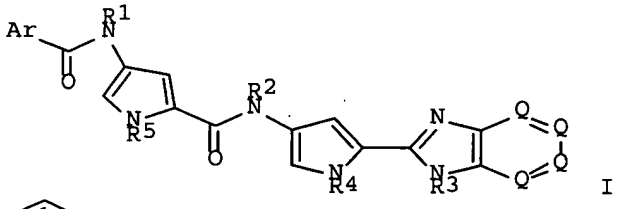
```
=> file caplus

=> s l3
L4            6 L3

=> s l4 and pd< nov 2002
      22741493 PD< NOV 2002
                  (PD<20021100)
L5            0 L4 AND PD< NOV 2002

=> dis l4 1-6 bib abs

L4   ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2004:996116  CAPLUS Full-text
DN   141:424438
TI   Preparation of polyamides having a fused, bicyclic moiety for binding to
     the minor groove of dsDNA
IN   Phillion, Dennis P.; Bashkin, James K.
PA   Pharmacia Corporation, USA
SO   PCT Int. Appl., 85 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
PI   WO 2004099131        A2    20041118    WO 2004-US13285        20040429
     WO 2004099131        A3    20050217
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     CA 2531869           AA    20041118    CA 2004-2531869        20040429
     US 2005009054        A1    20050113    US 2004-835054         20040429
     BR 2004009777        A     20060530    BR 2004-9777           20040429
PRAI US 2003-466477P      P     20030430
     US 2003-482692P      P     20030626
     WO 2004-US13285      W     20040429
OS   MARPAT 141:424438
AB   The invention is directed to the means for altering the binding affinity
     and/or specificity of a compound with a sequence of DNA in the minor groove of
     a double-strand. The claims relate to a synthetic and/or non-naturally
     occurring compound (e.g., an analog of a polyamide oligomer or polymer) which
     contains at least one hydrogen bond donor moiety and at least one hydrogen
     bond acceptor moiety. The latter moiety or "building block" has a fused,
     bicyclic structure which is heteroarom., the heteroatom of which acts as a
     hydrogen bond acceptor to bind guanine in the minor groove of the dsDNA
     sequence and which is incapable of forming a tautomer. In one particular
     embodiment of the synthetic and/or non-naturally occurring compound, the
     fused, bicyclic structure occupies an initial or first terminal position
     within the compound The examples describe the synthesis of 1-methyl-1H-
     benzimidazole-5-carboxylic acid, 2-(4-tert- butoxycarbonylamino-1-methyl-1H-
     pyrrol-2-yl)-1-methyl-1H-benzimidazole-5- carboxylic acid, 2-(2-tert-
```

butoxycarbonylaminoethyl)-1-methyl-1H- benzimidazole-5-carboxylic acid, 1-methyl-1H-pyrrolo[3,2-b]pyridine-2- carboxylic acid, and 2-(4-tert-butoxycarbonylamino-1-methyl-1H-pyrrol-2- yl)benzothiazole-5-carboxylic acid, which were used for the solid-phase synthesis of polyamide or polyamide analogs. An in vitro transcription-translation assay was carried out and polyamide or polyamide analog/DNA binding interactions were studied using surface plasmon resonance. A strong correlation exists between KD and IC50 values.

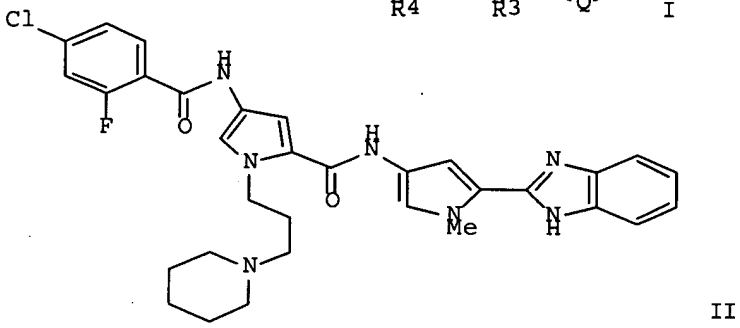
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:392437 CAPLUS Full-text
DN 140:406806
TI Preparation of arylcarbonylaminopyrrolylcarbonylaminopyrrolylbenzimidazoles and related compounds as antiinfectives.
IN Jones, Peter; Burli, Roland W.; Jiang, Chun; McMinn, Dustin L.
PA Genesoft Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004039318	A2	20040513	WO 2003-US333617	20031024
	WO 2004039318	A3	20040715		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2503119	AA	20040513	CA 2003-2503119	20031024
	AU 2003285958	A1	20040525	AU 2003-285958	20031024
	EP 1562931	A2	20050817	EP 2003-779189	20031024
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2006148845	A1	20060706	US 2005-532271	20051108
PRAI	US 2002-421438P	P	20021025		
	WO 2003-US33617	W	20031024		

OS MARPAT 140:406806
GI



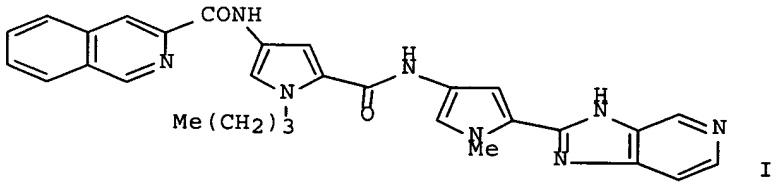
I



II

AB Title compds. [I; Ar = (substituted) (fused) Ph, heteroaryl; Q = N, CH, CR6; ≤2 Q = N; R1-R4 = H, alkyl; R5 = H, (substituted) alkyl, heteroalkyl; R6 = (substituted) alkyl, OR5, N(R5)2, O2CR5, NCOR5, Cl, F, Br], were prepared Thus, title compound (II) (preparation given) showed a min. inhibitory concentration of ≤4 μg/mL against Staphylococcus aureus 33591.

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:153593 CAPLUS Full-text
DN 140:357255
TI DNA binding ligands targeting drug-resistant Gram-positive bacteria. Part 2: C-Terminal benzimidazoles and derivatives
AU Burli, Roland W.; Jones, Peter; McMinn, Dustin; Le, Quan; Duan, Jian-Xin; Kaizerman, Jacob A.; Difuntorum, Stacey; Moser, Heinz E.
CS Genesoft Pharmaceuticals, Inc., South San Francisco, CA, 94080, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(5), 1259-1263
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 140:357255
GI



I

AB The synthesis and in vitro potency of DNA minor-groove binding antibacterials, such as I, lacking the C-terminal amide bond are described. The crescent shaped mols. bear the pos. charged amino group at an internal pyrrole unit instead of the C-terminus. Three structural parameters were investigated: the

N-terminal unit, the internal amino group, and the C-terminal ring system. Several compds. demonstrated good in vitro potency against various Gram-pos. bacteria and some mols. were moderately active against Escherichia coli, a representative Gram-neg. strain.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:153592 CAPLUS Full-text
DN 140:368087
TI DNA binding ligands targeting drug-resistant Gram-positive bacteria. Part 1: Internal benzimidazole derivatives
AU Burli, Roland W.; McMinn, Dustin; Kaizerman, Jacob A.; Hu, Wenhao; Ge, Yigong; Pack, Quinn; Jiang, Vernon; Gross, Matthew; Garcia, Martin; Tanaka, Richard; Moser, Heinz E.
CS Genesoft Pharmaceuticals, Inc., South San Francisco, CA, 94080, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(5), 1253-1257
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
AB Novel DNA minor-groove binding ligands with a promising antibacterial profile are described. Apart from excellent in vitro potency against multiple Gram-pos. bacterial strains such as methicillin-resistant Staphylococcus aureus (MRSA), vancomycin-resistant Enterococcus faecalis (VRE), and penicillin-intermediate Streptococcus pneumoniae (PISP), a small subset of compds. was active against Gram-neg. bacteria such as Escherichia coli (E. coli).

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:836592 CAPLUS Full-text
DN 139:333089
TI Methods of treating infection by drug resistant bacteria
IN Moser, Heinz E.; Baird, Eldon E.; Burli, Roland W.; Ge, Yigong; White, Sarah
PA Genesoft, Inc., USA
SO U.S. Pat. Appl. Publ., 43 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003199516	A1	20031023	US 2002-244142	20020912
	CA 2458926	AA	20030313	CA 2002-2458926	20020912
	WO 2004043335	A2	20040527	WO 2002-US29379	20020912
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002368274	A1	20040603	AU 2002-368274	20020912
	EP 1572072	A2	20050914	EP 2002-807922	20020912
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

	JP 2005538183	T2	20051215	JP 2004-551348	20020912
PRAI	US 2001-322704P	P	20010913		
	WO 2002-US29379	W	20020912		

OS MARPAT 139:333089

AB Methods are provided for treating an infection by Gram-pos. bacteria in a mammal, by administering to the mammal an effective amount of a compound that binds noncovalently in the minor groove of duplex DNA, the compound being identified by a number of DNA binding parameters and, in many instances, being a polyarom. compound

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:964537 CAPLUS Full-text

DN 138:39547

TI Preparation of aryl-benzimidazole-polypyrrole compounds having antiinfective/antibacterial activity

IN Burli, Roland W.; Kaizerman, Jacob A.; McMinn, Dustin L.; Baird, Eldon E.; Taylor, Matthew J.

PA Genesoft, Inc., USA

SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2

DT Patent

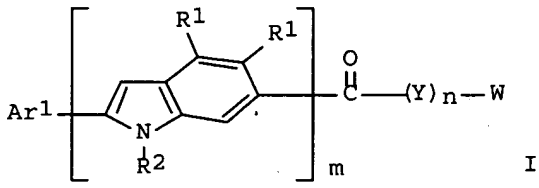
LA English

FAN.CNT 4

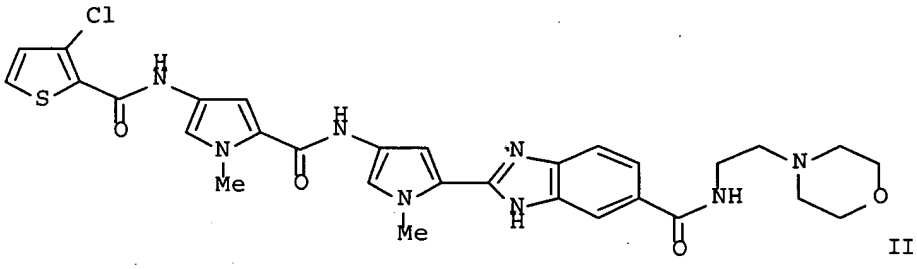
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002101073	A2	20021219	WO 2002-US17953	20020606
	WO 2002101073	A3	20030703		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003191168	A1	20031009	US 2002-165433	20020606
	US 6716866	B2	20040406		
	US 2006116326	A1	20060601	US 2004-1237	20041130
	US 2006069034	A1	20060330	US 2004-4615	20041202
PRAI	US 2001-298206P	P	20010613		
	US 2001-325134P	P	20010924		
	US 2001-333830P	P	20011127		
	US 2001-342309P	P	20011221		
	US 2002-165764	B1	20020606		
	US 2002-165856	A1	20020606		

OS MARPAT 138:39547

GI



I



II

AB Title compds. I [Ar1 = (un)substituted Ph, naphthyl, etc.; m = 0-1; n = 1-25; Y = NH-heterocyclic-CO; W = N(R2)2, OR2; R1 = H, F, Cl, Br, I, CN, OH, NO2, NH2, alkyl, etc.; R2 = H, alkyl, heteroalkyl] were prepared For instance, 1-methyl-3-nitropyrrole-5-carboxaldehyde (preparation given) and Et 3,4-diaminobenzoate were reacted (DMF, benzoquinone, 80-120°, 3 h) afforded the nitro imidazole which was reduced (DMF, H2-Pd/C) and the resulting amine coupled to a substituted pyrrole-carboxylic acid (preparation given; DMF, HBTU, i-Pr2NEt) the product saponified and coupled to N-(2-aminoethyl)morpholine to give II. I bind to DNA and have antibacterial activity. II had MIC ≤ 4 μg/mL against B. cereus, E. coli, E. faecalis, S. aureus and S. pneumoniae.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	18.85	186.00

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.50	-4.50

STN INTERNATIONAL LOGOFF AT 07:46:20 ON 25 OCT 2006